reacting the compound of formula (XVI) with a silylated R_2 - compound, in the presence of a Lewis acid, whereby said leaving group is displaced, to produce a compound of formula (XVII):

wherein

Z is S;

$$R_3$$
 R_4 R_5 R_5 R_6 R_7 R_8 R_8

$$R_4$$
 R_6 R_5 R_5 R_6 R_6

X is oxygen or sulfur;

01

Y is oxygen or sulfur;

 R_3 and R_4 are independently selected from hydrogen, hydroxyl, amino, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyl or aracyl;

 R_5 and R_6 are independently selected hydrogen, halogen, hydroxyl, amino, cyano, carboxy, carbamoyl, alkoxycarbonyl, hydroxymethyl, trifluoromethyl, thioaryl, C_{1-6} alkyl, C_{2-6} alkynyl, and C_{1-10} acyloxy;

 R_7 and R_8 are independently selected from hydrogen, hydroxy, alkoxy, thiol, thioalkyl, amino, halogen, cyano, carboxy, alkoxycarbonyl, carbamoyl, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy; and

 R_9 and R_{10} are independently selected from the hydrogen, hydroxy, alkoxy, amino, halogen, azido, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy.

36. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_y OOCCHO, wherein R_y is C_{1-12} alkyl or C_{6-20} aryl to obtain a compound of formula (XV)

$$R_yO_2C$$
 OH (XV)

converting the hydroxyl group of the compound of formula (XV) to a leaving group L to obtain a compound of formula (XVI):

$$R_yO_2C$$
 S
 (XVI) ;

reacting the compound of formula (XVI) with a silylated R_2 - compound, in the presence of a Lewis acid, whereby said leaving group is displaced, to produce a compound of formula (XVII):

$$R_yO_2C$$
 Z
 $(XVII)$

wherein

01

Z is S;

 \mathcal{D}^{\prime}

wherein

each R₁₁ is independently selected from hydrogen, acetyl, and C₁₋₆ alkyl;

 R_{12} and R_{13} are independently selected from hydrogen, hydroxymethyl, trifluoromethyl, C_{1-6} alkyl, C_{1-6} alkenyl, bromine, chlorine, fluorine, and iodine;

 R_{14} is selected from hydrogen, cyano, carboxy, ethoxycarbonyl, carbamoyl, and thiocarbamoyl; and

each W is independently selected from hydrogen, bromine, chlorine, fluorine, iodine, amino, and hydroxyl.

37. A process according to claim 35, wherein L is OR_z , wherein R_z is selected from: C_{1-6} alkyl groups, C_{1-6} aliphatic groups, aromatic acyl groups, saturated or unsaturated alkoxycarbonyl groups, sulphonyl imidazolide, carbonyl imidazolide, aliphatic or aromatic

amino carbonyl groups, alkyl imidate groups, saturated or unsaturated phosphinoyl, and aliphatic or aromatic sulphonyl groups.

38. A process according to claim 36, wherein L is OR_z , wherein R_z is selected from: C_{1-6} alkyl groups, C_{1-6} aliphatic groups, aromatic acyl groups, saturated or unsaturated alkoxycarbonyl groups, sulphonyl imidazolide, carbonyl imidazolide, aliphatic or aromatic amino carbonyl groups, alkyl imidate groups, saturated or unsaturated phosphinoyl, and aliphatic or aromatic sulphonyl groups.

45. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_y OOCCHO, wherein R_y is C_{1-12} alkyl or C_{6-20} aryl to obtain a compound of formula (XV)

$$R_yO_2C$$
 OH (XV) ;

converting the hydroxyl of the compound of formula (XV) to a leaving group L to obtain a compound of formula (XVI):

$$R_yO_2C$$
 S
 (XVI)

converting the group $R_y O_2 C$ of the compound of formula (XVI) to a hydroxymethyl group;

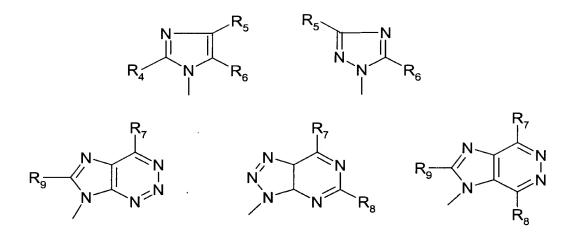
protecting the resulting hydroxymethyl with a protecting function R_1 to obtain a compound of formula (XXII):

wherein R_1 is selected from the group consisting of C_{1-16} acyl, t-butyldimethylsilyl, and t-butyldiphenylsily;

reacting the compound of formula (XXII) with a silylated-R₂ compound, in the presence of a Lewis acid, whereby said leaving group is displaced, to obtain a compound of formula (XXIII):

wherein

Z is S;



X is oxygen or sulfur; Y is oxygen or sulfur;

 R_3 and R_4 are independently selected from hydrogen, hydroxyl, amino, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyl or aracyl;

 R_5 and R_6 are independently selected hydrogen, halogen, hydroxyl, amino, cyano, carboxy, carbamoyl, alkoxycarbonyl, hydroxymethyl, trifluoromethyl, thioaryl, C_{1-6} alkyl, C_{2-6} alkynyl, and C_{1-10} acyloxy;

 R_7 and R_8 are independently selected from hydrogen, hydroxy, alkoxy, thiol, thioalkyl, amino, halogen, cyano, carboxy, alkoxycarbonyl, carbamoyl, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy; and

 R_9 and R_{10} are independently selected from the hydrogen, hydroxy, alkoxy, amino, halogen, azido, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy; and

optionally further comprising oxidizing Z of said compound of formula (XXIII) to obtain a compound of formula (XXIII) wherein Z is S=O or SO_2 .

46. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_y OOCCHO, wherein R_y is C_{1-12} alkyl or C_{6-20} aryl to obtain a compound of formula (XV)

8

$$R_yO_2C$$
 OH (XV) ;

converting the hydroxyl of the compound of formula (XV) to a leaving group L to obtain a compound of formula (XVI):

1/2

$$R_yO_2C$$
 S
 (XVI)

converting the group R_yO_2C of the compound of formula (XVI) to a hydroxymethyl group;

protecting the resulting hydroxymethyl with a protecting function R_1 to obtain a compound of formula (XXII):

wherein R_1 is selected from the group consisting of C_{1-16} acyl, t-butyldimethylsilyl, and t-butyldiphenylsily;

reacting the compound of formula (XXII) with a silylated-R₂ compound, in the presence of a Lewis acid, whereby said leaving group is displaced, to obtain a compound of formula (XXIII):

$$R_1OCH_2$$
 Z
 $(XXIII)$

wherein

Z is S;

R₂ is selected from the following group:

112

wherein

each R₁₁ is independently selected from hydrogen, acetyl, and C₁₋₆ alkyl;

 R_{12} and R_{13} are independently selected from hydrogen, hydroxymethyl, trifluoromethyl, C_{1-6} alkyl, C_{1-6} alkenyl, bromine, chlorine, fluorine, and iodine;

 R_{14} is selected from hydrogen, cyano, carboxy, ethoxycarbonyl, carbamoyl, and thiocarbamoyl; and

each W is independently selected from hydrogen, bromine, chlorine, fluorine, iodine, amino, and hydroxyl; and

02

optionally further comprising oxidizing Z of said compound of formula (XXIII) to obtain a compound of formula (XXIII) wherein Z is S=O or SO₂.

55. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_y OOCCHO, wherein R_y is C_{1-12} alkyl or C_{6-20} aryl to obtain a compound of formula (XV)

$$R_yO_2C$$
 OH (XV) ;

03

converting the hydroxyl of the compound of formula (XV) to a leaving group L to obtain a compound of formula (XVI):

$$R_yO_2C$$
 S
 (XVI)

reacting the compound of formula (XVI) with a silylated -R₂ compound in the presence of a Lewis acid, whereby said leaving group is displaced, to produce a compound of formula (XVII):

$$R_yO_2C$$
 Z
 $(XVII)$

wherein

Z is S;

$$R_9$$
 N
 R_{10}
 R_{10}

$$R_9$$
 N
 R_{10}
 R_8

$$R_4$$
 R_6

$$R_5$$
 N N R_6

$$R_9$$
 N
 R_8

X is oxygen or sulfur;

Y is oxygen or sulfur;

 R_3 and R_4 are independently selected from hydrogen, hydroxyl, amino, $C_{1\text{-}6}$ alkyl, $C_{2\text{-}6}$ alkenyl, $C_{2\text{-}6}$ alkynyl, and $C_{1\text{-}10}$ acyl or aracyl;

 R_5 and R_6 are independently selected hydrogen, halogen, hydroxyl, amino, cyano, carboxy, carbamoyl, alkoxycarbonyl, hydroxymethyl, trifluoromethyl, thioaryl, C_{1-6} alkyl, C_{2-6} alkynyl, and C_{1-10} acyloxy;

 R_7 and R_8 are independently selected from hydrogen, hydroxy, alkoxy, thiol, thioalkyl, amino, halogen, cyano, carboxy, alkoxycarbonyl, carbamoyl, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy; and

 R_9 and R_{10} are independently selected from the hydrogen, hydroxy, alkoxy, amino, halogen, azido, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy.

56. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_y OOCCHO, wherein R_y is C_{1-12} alkyl or C_{6-20} aryl to obtain a compound of formula (XV)

$$R_yO_2C$$
 OH S (XV)

converting the hydroxyl of the compound of formula (XV) to a leaving group L to obtain a compound of formula (XVI):

$$R_yO_2C$$
 S
 (XVI) ;

reacting the compound of formula (XVI) with a silylated -R₂ compound in the presence of a Lewis acid, whereby said leaving group is displaced, to produce a compound of formula (XVII):

$$R_7O_2C$$
 Z
 $(XVII)$

wherein

Z is S;

R₂ is selected from the following group:

each R_{11} is independently selected from hydrogen, acetyl, and $C_{1\text{-}6}$ alkyl;

 R_{12} and R_{13} are independently selected from hydrogen, hydroxymethyl, trifluoromethyl, C_{1-6} alkyl, C_{1-6} alkenyl, bromine, chlorine, fluorine, and iodine;

 R_{14} is selected from hydrogen, cyano, carboxy, ethoxycarbonyl, carbamoyl, and thiocarbamoyl; and

each W is independently selected from hydrogen, bromine, chlorine, fluorine, iodine, amino, and hydroxyl.

03

63. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_wOCH₂CHO, under neutral or basic conditions, wherein R_w is hydrogen or a hydroxyl protecting group to obtain a compound of formula (XIII)

converting the hydroxyl of the compound of formula (XIII) to a leaving group L to obtain a compound of formula (XIV):

reacting the compound of formula (XIV) with a silylated purine or pyrimidine base or derivative thereof R_2 , in the presence of a Lewis acid, said leaving group is displaced, to produce a compound of formula (IX):

$$R_wOCH_2$$
 S
 (IX)

wherein

Z is S, and

R₂ is selected from the following group:

$$R_3$$
 R_6 R_6

p4

$$R_9$$
 N
 R_{10}
 R_8

$$R_9$$
 N
 R_{10}
 R_8

$$R_4$$
 N R_6

$$R_5$$
 N
 R_6

$$R_9 \xrightarrow{N} N \xrightarrow{R_7} N$$

$$N$$
 N
 N
 N
 N
 N
 N
 N
 N

X is oxygen or sulfur; Y is oxygen or sulfur;

 R_3 and R_4 are independently selected from the group consisting of hydrogen, hydroxyl, amino, substituted or unsubstituted C_{1-6} alkyl or C_{2-6} alkenyl or C_{2-6} alkynyl, and substituted or unsubstituted C_{1-10} acyl or aracyl;

 R_5 and R_6 are independently selected from the group consisting of hydrogen, halogen, hydroxyl, amino, cyano, carboxy, carbamoyl, alkoxycarbonyl, hydroxymethyl, trifluoromethyl, thioaryl, substituted or unsubstituted C_{1-6} alkyl or C_{2-6} alkenyl or C_{2-6} alkynyl, and substituted or unsubstituted C_{1-10} acyloxy;

 R_7 and R_8 are independently selected from the group consisting of hydrogen, hydroxy, alkoxy, thiol, thioalkyl, amino, substituted amino, halogen, cyano, carboxy, alkoxycarbonyl, carbamoyl, substituted or unsubstituted C_{1-6} alkyl, or C_{2-6} alkenyl, or C_{2-6} alkynyl, and substituted or unsubstituted C_{1-10} acyloxy; and

 R_9 and R_{10} are independently selected from the group consisting of hydrogen, hydroxy, alkoxy, amino, substituted amino, halogen, azido, substituted or unsubstituted C_{1-6} alkyl or C_{2-6} alkenyl or C_{2-6} alkynyl, and substituted or unsubstituted C_{1-10} acyloxy+ and

optionally further comprising oxidizing Z of said compound of formula (IX) to obtain a compound of formula (IX) wherein Z is S=O or SO₂.

64. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_wOCH_2CHO , under neutral or basic conditions, wherein R_w is hydrogen or a hydroxyl protecting group to obtain a compound of formula (XIII)

converting the hydroxyl of the compound of formula (XIII) to a leaving group L to obtain a compound of formula (XIV):

$$R_wOCH_2$$
 S
 (XIV)

04

reacting the compound of formula (XIV) with a silylated purine or pyrimidine base or derivative thereof R₂, in the presence of a Lewis acid, said leaving group is displaced, to produce a compound of formula (IX):

$$R_wOCH_2$$
 S
 (IX)

74

wherein

Z is S, and

$$\begin{array}{c|c}
R_{14} & R_{11} \\
N & R_{12} \\
O & N
\end{array}$$

$$\begin{array}{c|c}
CI \\
R_{14} & CI
\end{array}$$

$$R_{14}$$
 N
 N
 N
 N
 N

each R₁₁ is independently selected from hydrogen, acetyl, and C₁₋₆ alkyl;

 R_{12} and R_{13} are independently selected from hydrogen, hydroxymethyl, trifluoromethyl, C_{1-6} alkyl, C_{1-6} alkenyl, bromine, chlorine, fluorine, and iodine;

 R_{14} is selected from hydrogen, cyano, carboxy, ethoxycarbonyl, carbamoyl, and thiocarbamoyl; and

each W is independently selected from hydrogen, bromine, chlorine, fluorine, iodine, amino, and hydroxyl.

- 65. A process according to claim 63, wherein L is OR_z , wherein R_z is selected from: C_{1-6} alkyl groups, C_{1-6} aliphatic groups, aromatic acyl groups, saturated or unsaturated alkoxycarbonyl groups, sulphonyl imidazolide, carbonyl imidazolide, aliphatic or aromatic amino carbonyl groups, alkyl imidate groups, saturated or unsaturated phosphinoyl, and aliphatic or aromatic sulphonyl groups.
- **66.** A process according to claim 64, wherein L is OR_z , wherein R_z is selected from: C_{1-6} alkyl groups, C_{1-6} aliphatic groups, aromatic acyl groups, saturated or unsaturated alkoxycarbonyl groups, sulphonyl imidazolide, carbonyl imidazolide, aliphatic or aromatic amino carbonyl groups, alkyl imidate groups, saturated or unsaturated phosphinoyl, and aliphatic or aromatic sulphonyl groups.

74. A process comprising:

reacting a mercaptoacetaldehyde with a compound of formula R_y OOCCHO, wherein R_y is C_{1-12} alkyl or C_{6-20} aryl to obtain a compound of formula (XV)

$$R_yO_2C$$
 OH (XV)

converting the hydroxyl group of the compound of formula (XV) to a leaving group L to obtain a compound of formula (XVI):

$$R_yO_2C$$
 S
 (XVI)

reacting the compound of formula (XVI) with a silylated R₂-compound, in the presence of a Lewis acid, whereby said leaving group is displaced, to produce a compound of formula (XVII):

$$R_yO_2C$$
 Z
 $(XVII)$

wherein

Z is S;

$$R_3$$
 R_4 R_5

$$R_6$$
 R_3
 R_5
 R_4

$$R_3$$
 R_4 R_5 R_6

$$\begin{array}{c}
R_3 \\
N = CN - R_4 \\
R_5 \\
R_6
\end{array}$$

$$R_{5}$$

$$R_{5}$$
 R_{5}
 R_{4}
 R_{6}

$$R_3$$
 R_4
 R_6

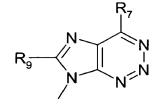
$$R_4$$
 N R_6

$$R_4$$
 R_6 R_5 R_5 R_6 R_6

$$R_9$$
 N
 N
 N
 R_8

$$R_9$$
 N
 R_{10}
 R_8

$$R_9 \longrightarrow N \longrightarrow R_8 \qquad R_9 \longrightarrow N \longrightarrow R_8 \qquad R_{10} \longrightarrow N \longrightarrow R_8$$



$$R_9$$
 N
 R_8
 N
 R_8

$$R_9$$
 N
 N
 N
 N
 N

X is oxygen or sulfur;

Y is oxygen or sulfur;

 R_3 and R_4 are independently selected from hydrogen, hydroxyl, amino, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyl or aracyl;

 R_5 and R_6 are independently selected hydrogen, halogen, hydroxyl, amino, cyano, carboxy, carbamoyl, alkoxycarbonyl, hydroxymethyl, trifluoromethyl, thioaryl, C_{1-6} alkyl, C_{2-6} alkynyl, and C_{1-10} acyloxy;

 R_7 and R_8 are independently selected from hydrogen, hydroxy, alkoxy, thiol, thioalkyl, amino, halogen, cyano, carboxy, alkoxycarbonyl, carbamoyl, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy; and

 R_9 and R_{10} are independently selected from the hydrogen, hydroxy, alkoxy, amino, halogen, azido, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy.

75. A process comprising:

wherein

reacting a mercaptoacetaldehyde with a compound of formula R_wOCH₂CHO, under neutral or basic conditions, wherein R_w is hydrogen or a hydroxyl protecting group to obtain a compound of formula (XIII)

converting the hydroxyl of the compound of formula (XIII) to a leaving group L to obtain a compound of formula (XIV):

reacting the compound of formula (XIV) with a silylated purine or pyrimidine base or derivative thereof R₂, in the presence of a Lewis acid, said leaving group is displaced, to produce a compound of formula (IX):

$$R_wOCH_2 \longrightarrow R_2$$
(IX)

23

Z is S, and

R₂ is selected from the following group:

$$R_3$$
 R_5 R_4 R_6

$$R_3$$
 R_4 R_5

$$R_6$$
 R_3
 R_5
 R_4

$$X$$
 R_5
 R_6

$$R_3$$
 R_5 R_4 R_6

DS

ps

09

X is oxygen or sulfur;

Y is oxygen or sulfur;

 R_3 and R_4 are independently selected from hydrogen, hydroxyl, amino, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyl or aracyl;

 R_5 and R_6 are independently selected hydrogen, halogen, hydroxyl, amino, cyano, carboxy, carbamoyl, alkoxycarbonyl, hydroxymethyl, trifluoromethyl, thioaryl, C_{1-6} alkyl, C_{2-6} alkynyl, and C_{1-10} acyloxy;

 R_7 and R_8 are independently selected from hydrogen, hydroxy, alkoxy, thiol, thioalkyl, amino, halogen, cyano, carboxy, alkoxycarbonyl, carbamoyl, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy; and

 R_9 and R_{10} are independently selected from the hydrogen, hydroxy, alkoxy, amino, halogen, azido, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{1-10} acyloxy.--